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***** Welcome to STN International *****

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NEWS 2 JUL 28 CA/CAPLUS patent coverage enhanced
NEWS 3 JUL 28 EFFULL enhanced with additional legal status
information from the EPOline Register
NEWS 4 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5 JUL 28 STN Viewer performance improved
NEWS 6 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7 AUG 13 CA/CAPLUS enhanced with printed Chemical Abstracts
page images from 1967-1998
NEWS 8 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 9 AUG 15 CAPLUS currency for Korean patents enhanced
NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure
comprehensive access to substance and sequence
information
NEWS 11 SEP 18 Support for STN Express, Versions 6.01 and earlier,
to be discontinued
NEWS 12 SEP 25 CA/CAPLUS current-awareness alert options enhanced
to accommodate supplemental CAS indexing of
exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and
display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified
prophetic substances identified in new Japanese-
language patents
NEWS 17 OCT 07 EFFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent
number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing
enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of
pre-registered REACH substances

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
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DICTIONARY FILE UPDATES: 9 NOV 2008 HIGHEST RN 1071762-23-6

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ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\STNEXP\Queries\10572968 str 1.str

L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d l2

L2 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

L2 QUE ABB=ON PLU=ON L1

=> s l2 sss full
FULL SEARCH INITIATED 08:16:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED 232 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\STNEXP\Queries\10572968 str 2.str

L4 STRUCTURE UPLOADED

=> que L4

L5 QUE L4

=> d l4
L4 HAS NO ANSWERS
L4 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss full
FULL SEARCH INITIATED 08:20:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED 232 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

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oxy-propyl]-carbamic acid thiazol-5-ylmethyl ester"/cn
L7 0 "[3-[(2-AMINO-BENZOOXAZOLE-6-SULFONYL)-ISOBUTYL-AMINO]-BENZYL-2-
HYDR- OXY-PROPYL]-CARBAMIC ACID THIAZOL-5-YLMETHYL ESTER"/CN

=> S
"[3-[(2-Amino-benzooxazole-6-sulfonyl)-isobutyl-amino]-benzyl-2-hydroxy-propyl]-carb
amic acid thiazol-5-ylmethyl ester"/cn
L8 0 "[3-[(2-AMINO-BENZOOXAZOLE-6-SULFONYL)-ISOBUTYL-AMINO]-BENZYL-2-
HYDROXY-PROPYL]-CARBAMIC ACID THIAZOL-5-YLMETHYL ESTER"/CN

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ENTER SCREEN EXPRESSION OR (END):end

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Uploading C:\Program Files\STNEXP\Queries\10572968 str 3.str

L9 STRUCTURE UPLOADED

=> que L9

L10 QUE L9

=> s l10 sss full

FULL SEARCH INITIATED 08:40:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED 232 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L11 0 SEA SSS FUL L9

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

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Uploading C:\Program Files\STNEXP\Queries\10572968 str4.str

L12 STRUCTURE UPLOADED

=> que L12

L13 QUE L12

=> s l13 sss full

FULL SEARCH INITIATED 08:53:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED 232 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

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ENTER SCREEN EXPRESSION OR (END):end

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L15 STRUCTURE UPLOADED

=> que L15

L16 QUE L15

=> s l16 sss full

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100.0% PROCESSED 232 ITERATIONS

5 ANSWERS

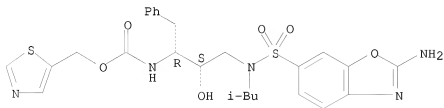
SEARCH TIME: 00.00.01

L17 5 SEA SSS FUL L15

=> d str

L17 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

933.04

933.25

FILE 'CAPLUS' ENTERED AT 08:57:38 ON 10 NOV 2008

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FILE COVERS 1907 - 10 Nov 2008 VOL 149 ISS 20

FILE LAST UPDATED: 9 Nov 2008 (20081109/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l17

L18

6 L17

=> d l18 1-6 ibib ab hitstr

L18 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:501142 CAPLUS
 DOCUMENT NUMBER: 148:479857
 TITLE: Bioavailable combinations comprising hepatitis C virus NS3/4a protease inhibitor for hepatitis C treatment
 INVENTOR(S): Van't Klooster, Gerben Albert Eleutherius; De Kock, Herman Augustinus; Raboisson, Pierre Jean-Marie Bernard; Van den Eynde, Christel Florentina E.
 PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
 SOURCE: PCT Int. Appl., 54pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

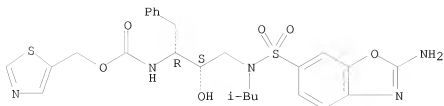
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008046860	A2	20080424	WO 2007-EP61092	20071017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-122446 A 20061017
 OTHER SOURCE(S): MARPAT 148:479857

AB The present invention relates to the combination comprising an hepatitis C virus (HCV) NS3/4a protease inhibitor and a compound of formula (I). The combination is useful to improve the bioavailability of the HCV NS3/4a protease inhibitor. As such, the combination is useful for treating conditions associated with the Hepatitis C virus in patients. Pharmaceutical compns. and kits comprising this combination, and processes for preparing the combination and the pharmaceutical formulations are also provided. Thus, different HCV NS3/4a protease inhibitors were tested in a metabolic blocking experiment using 3 µM test compound together with 10 µM of compound of formula I acting as a cytochrome P 450 inhibitor (or booster). Test compds. and compound of formula I were added to human liver microsomes (protein concentration 1 mg/mL) suspended in potassium phosphate buffer (pH = 7.4), to get final reaction mixture concns. of 3 µM test compound and 10 µM of compound of formula I. The experiment showed an almost complete blocking of test compound (3 µM) metabolism by addition of 10 µM of compound of formula I.

IT 1019330-02-9
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (bioavailable combinations comprising hepatitis C virus NS3/4a protease inhibitor for hepatitis C treatment)
 RN 1019330-02-9 CAPLUS
 CN Carbamic acid, N-[(1R,2S)-3-[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1097492 CAPLUS

DOCUMENT NUMBER: 145:432164

TITLE: Use of a sulfonamide compound for improving the pharmacokinetics of a drug

INVENTOR(S): Van 't Klooster, Gerben Albert Eleutherius; Wigerinck, Piet Tom Bert Paul; De Meyer, Sandra; Baert, Lieven Elvire Colette; De Kock, Herman Augustinus

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 29pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006108879	A2	20061019	WO 2006-EP61614	20060414
WO 2006108879	A3	20080110		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006234335	A1	20061019	AU 2006-234335	20060414
CA 2604799	A1	20061019	CA 2006-2604799	20060414
EP 1874307	A2	20080109	EP 2006-754743	20060414
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008535896	T	20080904	JP 2008-505907	20060414
CN 101175491	A	20080507	CN 2006-80012542	20071015
IN 2007DN07972	A	20071123	IN 2007-DN7972	20071016
PRIORITY APPLN. INFO.:			EP 2005-103035	A 20050415
			US 2005-684283P	P 20050525
			WO 2006-EP61614	W 20060414

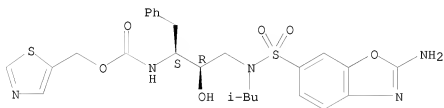
OTHER SOURCE(S): MARPAT 145:432164

AB A method for improving the pharmacokinetics of drugs, which are metabolized by cytochrome P 450 monooxygenase is disclosed. More specifically it relates to a method for improving the pharmacokinetics of retroviral protease inhibitors and in particular for improving the pharmacokinetics of human immunodeficiency virus (HIV) protease

inhibitors. A pharmaceutical composition and its use in the manufacture of a medicament for the inhibition or treatment of an HIV infection or AIDS in a human being are also part of the invention.

IT 470704-98-4
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (use of sulfonamide compound for improving pharmacokinetics of drug)
 RN 470704-98-4 CAPLUS
 CN Carbamic acid, [(1S,2R)-3-[[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on SIN

ACCESSION NUMBER: 2005:300421 CAPLUS

DOCUMENT NUMBER: 142:373819

TITLE: Methods for the preparation of aminohydroxypropyl benzoxazolesulfonamides as intermediates in the preparation of HIV protease inhibitors

INVENTOR(S): De Kock, Herman Augustinus; Filliers, Walter Ferdinand Maria; Aelterman, Wim Albert Alex

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030739	A1	20050407	WO 2004-EP52382	20040930
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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CA 2537877	A1	20050407	CA 2004-2537877	20040930
EP 1670773	A1	20060621	EP 2004-766869	20040930
EP 1670773	B1	20070207		
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CN 1860107	A	20061108	CN 2004-80028097	20040930
AT 353323	T	20070215	AT 2004-766869	20040930
JP 2007507468	T	20070329	JP 2006-530265	20040930
ES 2281828	T3	20071001	ES 2004-766869	20040930
IN 2006DN00930	A	20070810	IN 2006-DN930	20060222
US 20070123574	Al	20070531	US 2006-574157	20060328
MX 2006PA03575	A	20060605	MX 2006-PA3575	20060330
NO 2006001951	A	20060502	NO 2006-1951	20060502
PRIORITY APPLN. INFO.:			EP 2003-103630	A 20030930
			US 2003-507996P	P 20031002
			WO 2004-EP52382	W 20040930

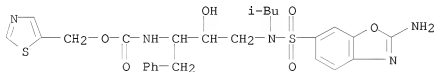
OTHER SOURCE(S): CASREACT 142:373819; MARPAT 142:373819

AB Aminohydroxypropyl benzoxazolesulfonamides I [E = electrophilic moiety; PG = protecting group; R2 = H, alkyl; R3 = (un)substituted cycloalkyl, aryl, heteroaryl, alkyl; R4 = H, HO2C, (un)substituted alkyl, alkoxy, carbonyl, aminocarbonyl, cycloalkyl, alkenyl, alkynyl] such as II (R5 = MeS; R6 = Me3C) are prepared as intermediates in the synthesis of HIV protease inhibitors such as II (R5 = H2N; R6 = 5-thiazolylmethyl). S-alkylation of 2-benzoxazolethione followed by regioselective sulfonylation yields an benzoxazolesulfonic acid derivative which sulfonylates an amino alc. (derived from ring opening of an epoxide with an amine) to provide I. For example, 2-mercaptobenzoxazole is methylated and the product regioselectively sulfonylated with chlorosulfonic acid and converted to the sulfonyl chloride with thionyl chloride to yield 2-(methylthio)-6-benzoxazolesulfonyl chloride. Ring opening of [1-(Boc-amino)-2-phenylethyl]oxirane (Boc = Me3COCO) with isobutylamine yields the amine PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2 (III). Sulfonylation of III with 2-(methylthio)-6-benzoxazolesulfonyl chloride provides II (R5 = MeS; R6 = Me3C). Heating of II (R5 = MeS; R6 = Me3C) with ammonia under pressure, cleavage of the Boc group with hydrogen chloride in isopropanol, and treatment with mono(N-hydroxysuccinimidyl) mono(5-thiazolymethyl) carbonate yields II (R5 = H2N; R6 = 5-thiazolymethyl).

IT 848985-05-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; methods for the preparation of aminohydroxypropyl benzoxazolesulfonamides as intermediates in the preparation of HIV protease inhibitors)

RN 848985-05-7 CAPLUS

CN Carbamic acid, [3-[[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolymethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:300242 CAPLUS

DOCUMENT NUMBER: 142:349031

TITLE: Sulfonamides for inhibition of hepatitis C virus (HCV) or combined HCV and HIV infections

INVENTOR(S): Simmen, Kenneth Alan; Van Acker, Koenraad Lodewijk August; Wigerinck, Piet Tom Bert Paul; Surleraux, Dominique Louis Nestor Ghislain; Dams, Gery Karel Julia; Quiryren, Ludo Maria Marcel; Hertogs, Kurt; Pauwels, Rudi Wilfried Jan

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030194	A1	20050407	WO 2004-EP52388	20040930
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RM:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1670448	A1	20060621	EP 2004-766871	20040930
EP 1670448	B1	20071121		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2007507469	T	20070329	JP 2006-530267	20040930
ES 2297467	T3	20080501	ES 2004-766871	20040930
US 20080234288	A1	20080925	US 2006-572968	20060321
PRIORITY APPLN. INFO.:			EP 2003-103629	A 20030930
			US 2003-507535P	P 20031001
			WO 2004-EP52388	W 20040930

OTHER SOURCE(S): MARPAT 142:349031

AB The invention discloses sulfonamide derivs. I (Q1 = S, O; R1 = H, C1-6 alkyl, OH, amino, halo, etc.; R2 = H, C1-6 alkyl; R3 = C1-6 alkyl, aryl, C3-7 cycloalkyl, etc.; R4 = H, C1-4 alkyloxycarbonyl, carboxyl, etc.; Q2 = substituted Ph, heterocyclyl), and N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, and esters thereof, for the manufacture of a medicament useful for inhibiting HCV activity in a mammal infected with HCV. The invention also discloses the use of these sulfonamides in pharmaceutical compns. aimed to treat or combat combined HCV and HIV infections. In addition, the invention discloses processes for preparation of such pharmaceutical compns. The invention also discloses combinations of the sulfonamides with other anti-HCV agents and/or anti-HIV agents.

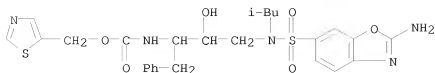
IT 848985-05-7 848985-05-7D, N-oxides, stereoisomers, or salts 848985-16-0 848985-16-0D, N-oxides, stereoisomers, or salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sulfonamides for inhibition of hepatitis C virus (HCV) or combined HCV and HIV infections)

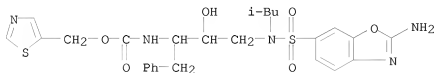
RN 848985-05-7 CAPLUS

CN Carbamic acid, [3-[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)



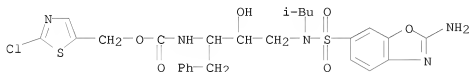
RN 848985-05-7 CAPLUS

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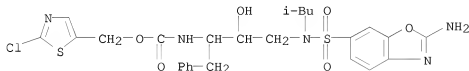
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CN Carbamic acid, [3-[[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (2-chloro-5-thiazolyl)methyl ester (9CI) (CA INDEX NAME)



RN 848985-16-0 CAPLUS

CN Carbamic acid, [3-[[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (2-chloro-5-thiazolyl)methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:888736 CAPLUS

DOCUMENT NUMBER: 137:384835

TITLE: Preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 54 pp.

DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1 English
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092595	A1	20021121	WO 2002-EP5212	20020510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002310818	A1	20021125	AU 2002-310818	20020510
AU 2002310818	B2	20071213		
EP 1387842	A1	20040211	EP 2002-735354	20020510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300547	A	20040216	EE 2003-547	20020510
BR 2002009594	A	20040330	BR 2002-9594	20020510
CN 1507446	A	20040623	CN 2002-809741	20020510
HU 2004000438	A2	20040830	HU 2004-438	20020510
HU 2004000438	A3	20070828		
JP 2004534757	T	20041118	JP 2002-589479	20020510
NZ 529250	A	20050527	NZ 2002-529250	20020510
AP 1652	A	20060831	AP 2003-2904	20020510
ZA 2003007799	A	20050106	ZA 2003-7799	20031006
IN 2003DN01588	A	20070112	IN 2003-DN1588	20031006
US 20040106661	A1	20040603	US 2003-474485	20031009
BG 108309	A	20041230	BG 2003-108309	20031103
MX 2003PA10258	A	20050307	MX 2003-PA10258	20031110
PRIORITY APPLN. INFO.:			EP 2001-201732	A 20010511
			WO 2002-EP5212	W 20020510

OTHER SOURCE(S): MARPAT 137:384835

AB Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxy, carbonyl, carboxy, aminocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl], N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared. For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate and 5-hydroxymethylthiazole (CH2Cl2, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pEC50 = 8.18 against HIV-1 (Lai strain).

IT 470704-98-4P 475488-43-8P

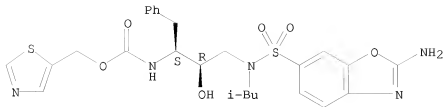
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors)

RN 470704-98-4 CAPLUS

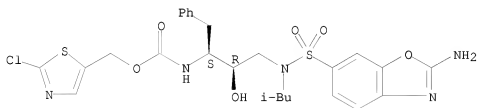
CN Carbamic acid, [(1S,2R)-3-[[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475488-43-8 CAPLUS
 CN Carbamic acid, [(1S,2R)-3-[[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (2-chloro-5-thiazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:793630 CAPLUS

DOCUMENT NUMBER: 137:310904

TITLE: Preparation of 2-(substituted-amino)benzoxazole sulfonamides as broadspectrum HIV protease inhibitors
 INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah; Erra Sola, Montserrat

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081478	A2	20021017	WO 2002-EP4012	20020409
WO 2002081478	A3	20030501		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
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GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2442870	A1	20021017	CA 2002-2442870	20020409
AU 2002257774	A1	20021021	AU 2002-257774	20020409
AU 2002257774	B2	20070830		
EE 200300494	A	20031215	EE 2003-494	20020409
HU 2003003744	A2	20040301	HU 2003-3744	20020409
HU 2003003744	A3	20080328		
BR 2002008796	A	20040309	BR 2002-8796	20020409
EP 1397367	A2	20040317	EP 2002-727554	20020409
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JP 2004529144	T	20040924	JP 2002-579466	20020409
NZ 528954	A	20050429	NZ 2002-528954	20020409
CN 1636006	A	20050706	CN 2002-811480	20020409
AP 1544	A	20060228	AP 2003-2882	20020409
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW				
BG 108218	A	20040930	BG 2003-108218	20031001
ZA 2003007683	A	20050103	ZA 2003-7683	20031001
IN 2003DN01589	A	20070223	IN 2003-DN1589	20031006
US 20040132791	A1	20040708	US 2003-474162	20031007
US 7244752	B2	20070717		
NO 2003004505	A	20031208	NO 2003-4505	20031008
MX 2003PA09179	A	20041122	MX 2003-PA9179	20031008
US 20070135447	A1	20070614	US 2007-626183	20070123
PRIORITY APPLN. INFO.:			EP 2001-201308	A 20010409
			US 2001-287704P	P 20010502
			WO 2002-EP4012	W 20020409
			US 2003-474162	A3 20031007

OTHER SOURCE(S): MARPAT 137:310904

AB Benzoxazole sulfonamides of formula I [R1 = H, alkyl, alkenyl, arylalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, aryl, cycloalkyl, cycloalkyl-alkyl, arylalkyl; R4 = H, alkyloxycarbonyl, carboxyl, aminocarbonyl, etc.; R5 = H, OH, alkyl, etc.; R6 = alkyloxy, aryl, aryloxy, etc.; L = CO, O-CO, NHCO, O-alkyl-CO, SO2, etc.; A = alkylene, CO, CS, SO2, etc.] are prepared as broad-spectrum HIV protease inhibitors. The compds. can also be combined with another anti-retroviral agent, and be used in assays as reference compds. or as reagents. Thus, II was prepared, and was effective in inhibiting a broad range of mutant strains in a cellular assay.

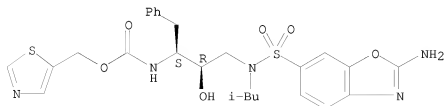
IT 470704-98-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminobenzoxazole sulfonamides as broad-spectrum HIV protease inhibitors)

RN 470704-98-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 5-thiazolylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'REGISTRY' ENTERED AT 08:15:32 ON 10 NOV 2008

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